FIG. 1A

Scheme 1

gy....

FIG. 1B

Scheme 2

83%

GPC 285937

FIG. 1C

Scheme 3

FIG. 1D

Scheme 4

FIG. 1E

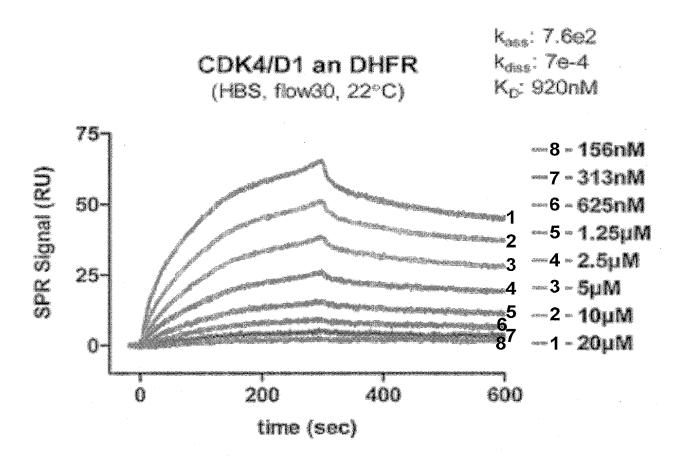
Scheme 5

FIG. 1F

Scheme 6

0

R=tBu **40** TFA R=H **41 GPC 285993**



Structure representations of GPC 285937, GPC 285985 and GPC 285993

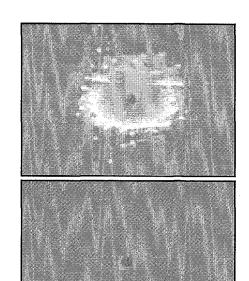
a) GPC 285937

b) GPC 285985

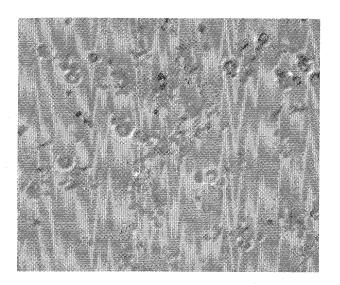
c) GPC 285993

a. 1μl 1mM GPC285937 in DMSO

b. 1µl DMSO



a. MTX-mdbt-Dex



b. GPC 285937

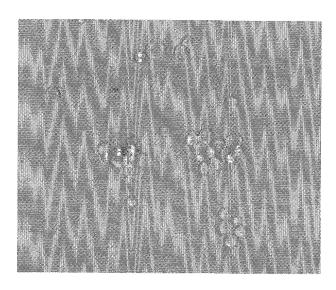
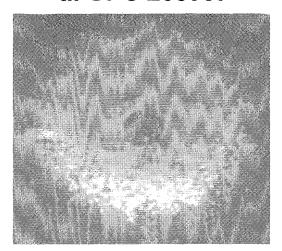


FIG. 6

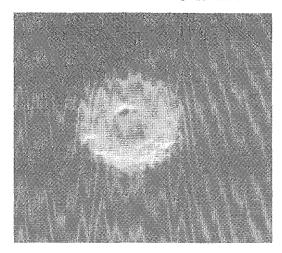


a. GPC 285937



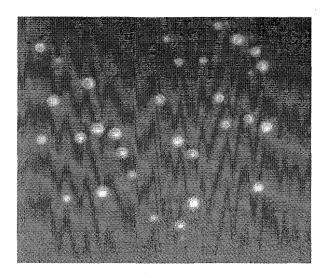
LINKER = 3 polyethylenglycol groups

b. Mtx-mdbt-Dex



LINKER = metadibenzothioester

Difference in yeast colony growth on screening plates



a. GPC 285937



b. Mtx-mdbt-Dex

FIG. 8

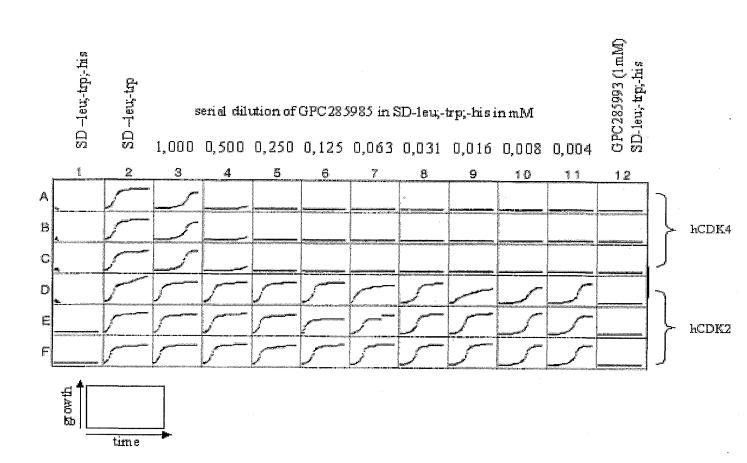


FIG. 9

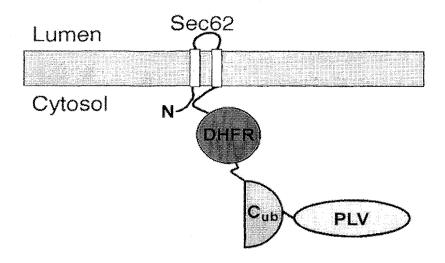


FIG. 10

Test of CDK specificity of compound GPC 285985

pBYH-DHFR/pACH-CDK2 pBYH-DHFR/pACH-CDK4

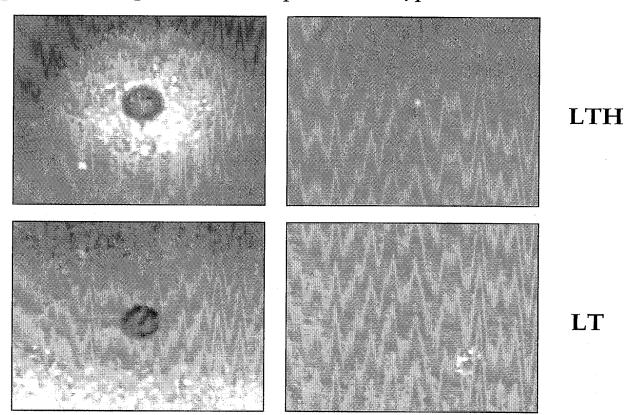
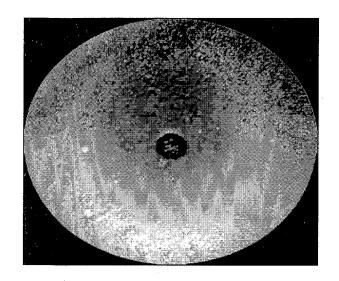


FIG. 11
Compound GPC 285985 is CDK2 specific







CDK4

Retest of clones picked

G en elD-F orw	ClonelD	LTH 285985 285993
GPC761	MTP1E12	
CDK2	MTP1D6	
GPC456	MTP1D9	
	MIPIET	
GPC672	MTP1C24	
GPC556	MTP1C14	
GPC173	MTP1F9	
GPC553	MTP1F7	
GPC644	MTP1C23	2 2
	MTP1D16	

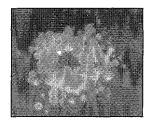
FIG. 13

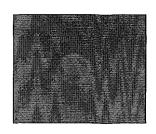
GPC761 binds specific to GPC2GPC 285985

active

inactive

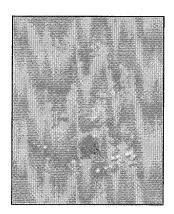
GPC285985





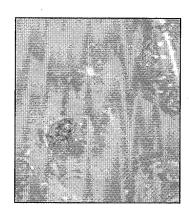
GPC285993

Mammalian three hybrid system



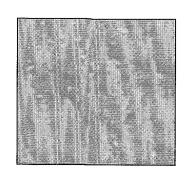
positve Control

В



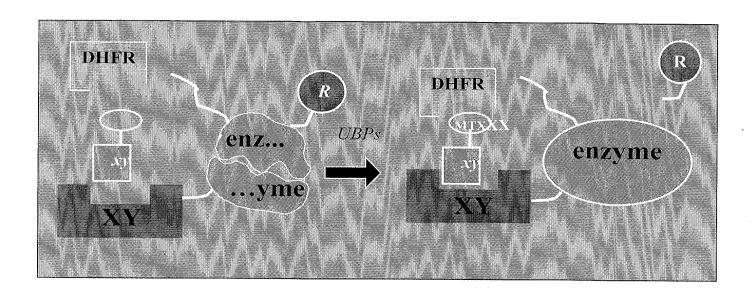
Gal-DHFR VP16-GR

C

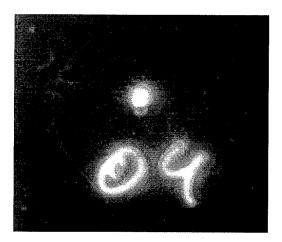


Gal-DHFR VP16-GR

FIG. 15



a. GPC 286004



b. GPC 286026

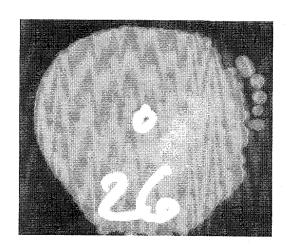
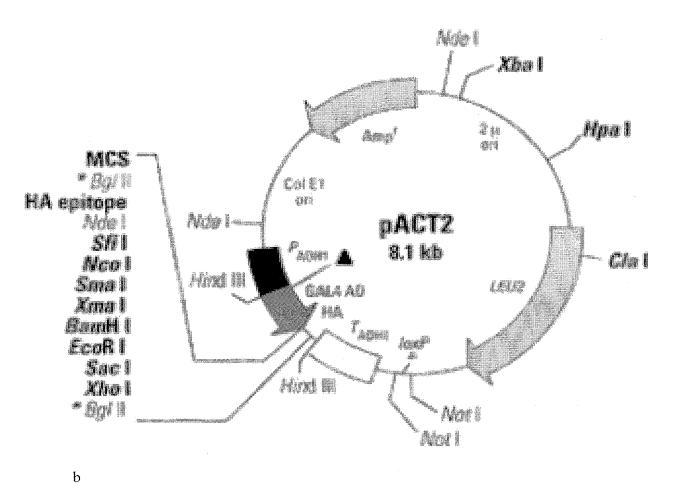


Fig. 17

a.



GAL4 AD 9830 5000 (861) AAA AAA GAG ATC TGT ATG GCT TAC CCA TAC GAT GTT CCA GAT TAC GCT HA opitope -Boll 5040 5(130) 5000 4010 AGE TIG GGT GGT CAT ATG GCC ATG GAG GCC CCG GGG ATC CGA ATT C Nicol Small EcoR I Ame l Part II Shil 25(4) GA GCT CGA GAG ATC TAT GAA TCG TAG ATA CTG AAA AAC Sacl g_{gill} stop stop Xhol